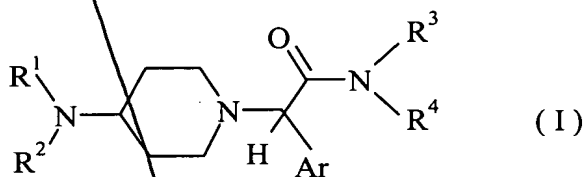


Patent Claims

We Claim:

1. A compound of formula (I):



or a pharmaceutically acceptable salt thereof,

wherein

- Sub 1*
- $R^1$  denotes 3-hydroxypropyl, 1,3-dihydroxyprop-2-yl or C<sub>3</sub>-C<sub>6</sub>-cycloalkylmethyl,
- $R^2$  denotes hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl,  $\omega$ -hydroxy-C<sub>2</sub>-C<sub>4</sub>-alkyl, 1,3-dihydroxyprop-2-yl or C<sub>3</sub>-C<sub>6</sub>-cycloalkylmethyl,
- Ar denotes unsubstituted phenyl or phenyl which is 1- to 5-substituted by halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-fluoroalkyl, C<sub>1</sub>-C<sub>4</sub>-fluoroalkoxy or -OCH<sub>2</sub>O-;
- $R^3$  denotes phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, wherein the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are selected from halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-fluoroalkyl, and C<sub>1</sub>-C<sub>4</sub>-fluoroalkoxy;
- and
- $R^4$  denotes hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, CH<sub>2</sub>COOH, -CH<sub>2</sub>C(O)NH<sub>2</sub>, -OH or phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl .

2. A compound according to claim 1, wherein  $R^4$  is C<sub>1</sub>-C<sub>4</sub>-alkyl.

3. A compound according to claim 1, wherein Ar is unsubstituted phenyl or 2,3-methylenedioxyphenyl.

4. A compound according to claim 2, wherein Ar is unsubstituted phenyl or 2,3-methylenedioxyphenyl.

5. A compound according to claim 1, wherein R<sup>3</sup> denotes 2-phenylethyl, where the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are each selected from among halogen, hydroxy, methyl, methoxy, trifluoromethyl or trifluoromethoxy.

6. A compound according to claim 2, wherein R<sup>3</sup> denotes 2-phenylethyl, where the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are each selected from among halogen, hydroxy, methyl, methoxy, trifluoromethyl or trifluoromethoxy.

7. A compound according to claim 3, wherein R<sup>3</sup> denotes 2-phenylethyl, where the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are each selected from among halogen, hydroxy, methyl, methoxy, trifluoromethyl or trifluoromethoxy.

8. A compound according to claim 4, wherein R<sup>3</sup> denotes 2-phenylethyl, where the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are each selected from among halogen, hydroxy, methyl, methoxy, trifluoromethyl or trifluoromethoxy.

9. A compound according to claim 1, wherein R<sup>3</sup> is 2-(3,5-bistrifluoromethylphenyl)-ethyl.

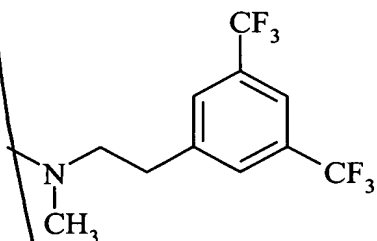
10. A compound according to claim 2, wherein R<sup>3</sup> is 2-(3,5-bistrifluoromethylphenyl)-ethyl.

11. A compound according to claim 3, wherein R<sup>3</sup> is 2-(3,5-bistrifluoromethylphenyl)-ethyl.

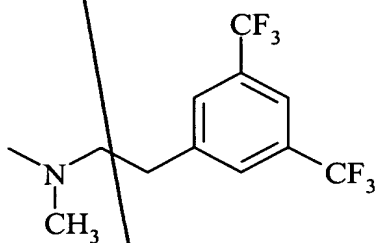
Sub 1 Cont

12. A compound according to claim 4, wherein  $R^3$  is 2-(3,5-bis(trifluoromethyl)phenyl)-ethyl.

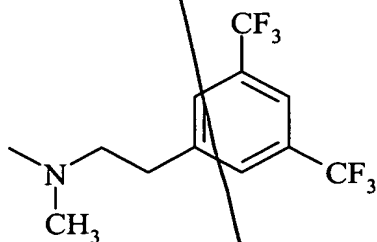
5 13. A compound according to claim 1, wherein the group  $-NR^3R^4$  is



10 14. A compound according to claim 2, wherein the group  $-NR^3R^4$  is

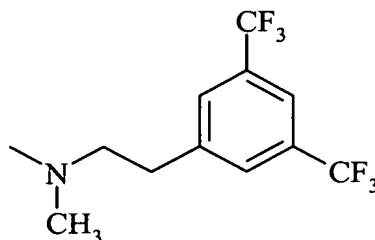


15 15. A compound according to claim 3, wherein the group  $-NR^3R^4$  is



Sub  
1001025-101601  
cont

16. A compound according to claim 4, wherein the group  $-NR^3R^4$  is



5 17. A compound according to claim 1, wherein

$R^1$  denotes a cyclopropylmethyl group, and

$R^2$  denotes a hydrogen atom, a  $C_1$ - $C_3$ -alkyl group or a 3-hydroxypropyl group.

10 18. A compound according to claim 2, wherein

$R^1$  denotes a cyclopropylmethyl group, and

$R^2$  denotes a hydrogen atom, a  $C_1$ - $C_3$ -alkyl group or a 3-hydroxypropyl group.

15 19. A compound according to claim 3, wherein

$R^1$  denotes a cyclopropylmethyl group, and

$R^2$  denotes a hydrogen atom, a  $C_1$ - $C_3$ -alkyl group or a 3-hydroxypropyl group.

20 20. A compound according to claim 4, wherein

$R^1$  denotes a cyclopropylmethyl group, and

$R^2$  denotes a hydrogen atom, a  $C_1$ - $C_3$ -alkyl group or a 3-hydroxypropyl group.

21. A compound according to claim 1, wherein

25  $R^1$  denotes a 3-hydroxypropyl or 1,3-dihydroxyprop-2-yl group, and

$R^2$  denotes a hydrogen atom, a  $C_1$ - $C_3$ -alkyl group or a 2-hydroxyethyl group.

22. A compound according to claim 2, wherein

$R^1$  denotes a 3-hydroxypropyl or 1,3-dihydroxyprop-2-yl group, and

$R^2$  denotes a hydrogen atom, a  $C_1$ - $C_3$ -alkyl group or a 2-hydroxyethyl group.

5

23. A compound according to claim 3, wherein

$R^1$  denotes a 3-hydroxypropyl or 1,3-dihydroxyprop-2-yl group, and

$R^2$  denotes a hydrogen atom, a  $C_1$ - $C_3$ -alkyl group or a 2-hydroxyethyl group.

10

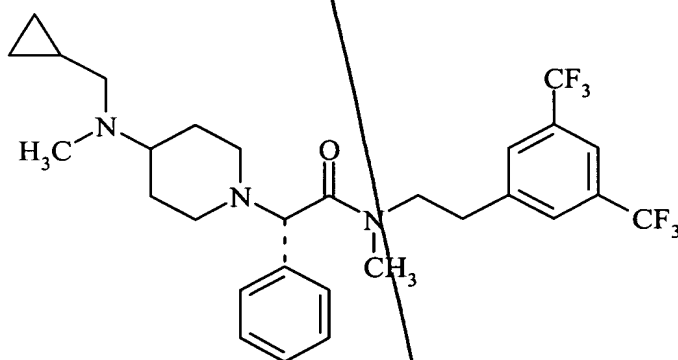
24. A compound according to claim 4, wherein

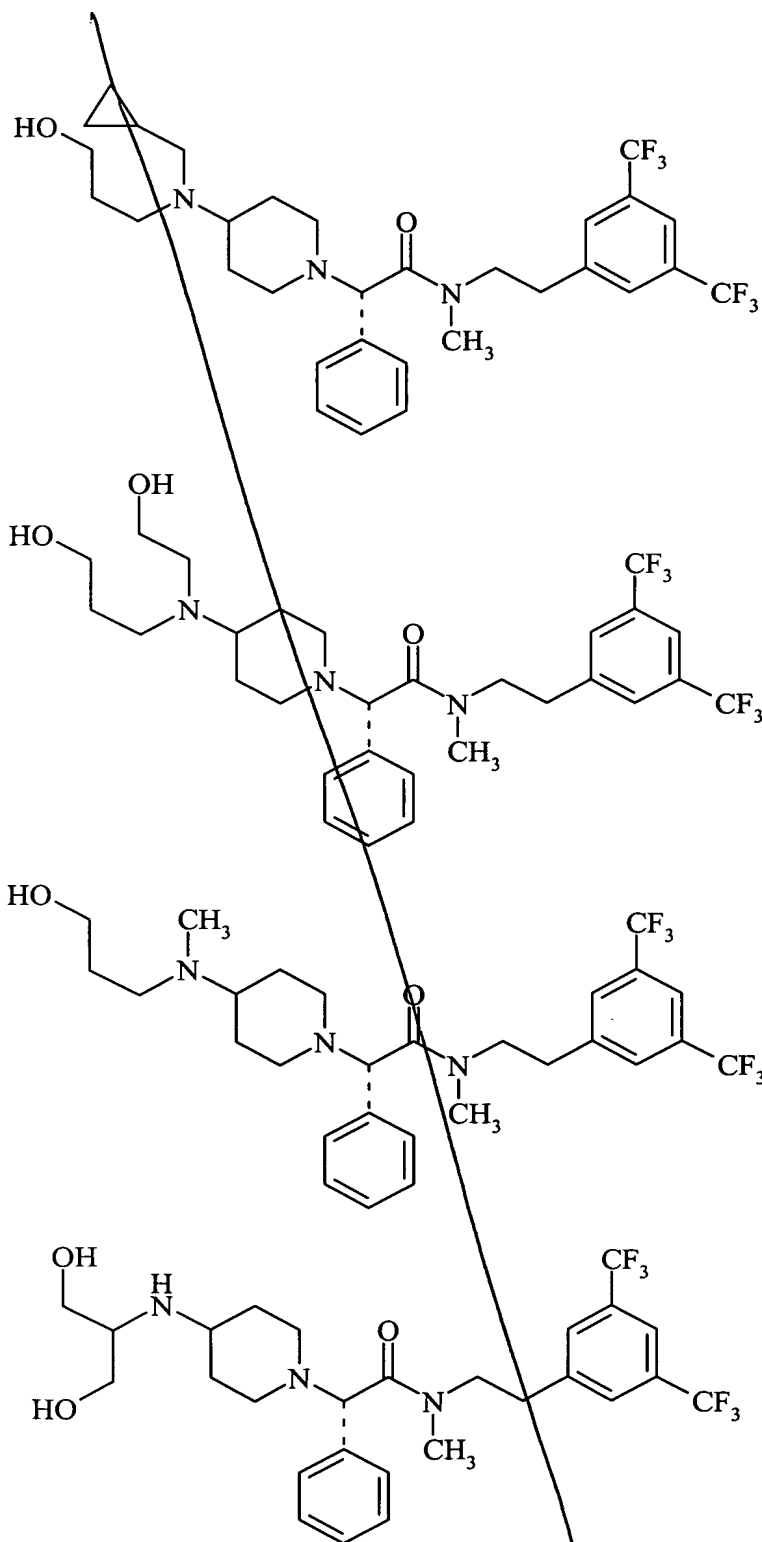
$R^1$  denotes a 3-hydroxypropyl or 1,3-dihydroxyprop-2-yl group, and

$R^2$  denotes a hydrogen atom, a  $C_1$ - $C_3$ -alkyl group or a 2-hydroxyethyl group.

15

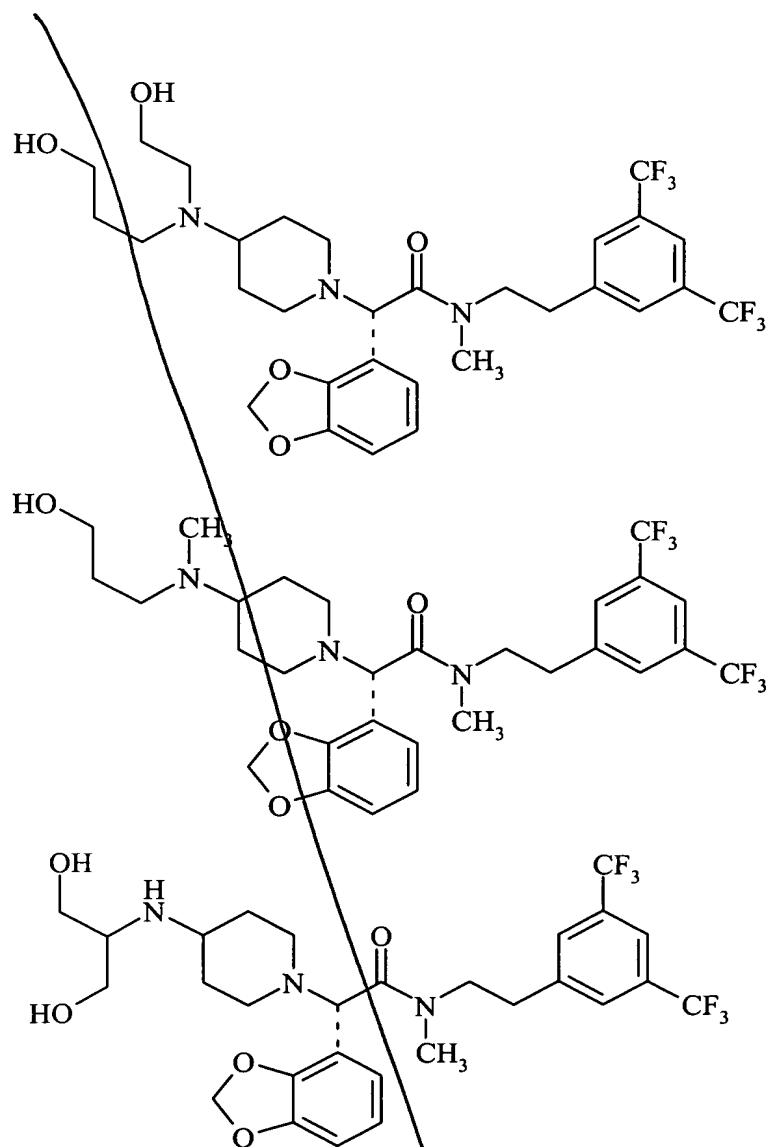
25. A compound according to claim 1, selected from the following compounds:





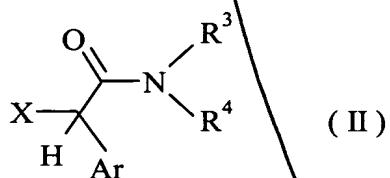
098123-101601

Sub A  
Cont



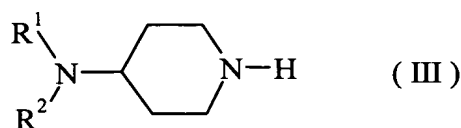
and

26. A process for preparing a compound of formula I according to claim 1, said process comprising reacting an amide of formula II



wherein Ar, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 1 and X denotes a suitable leaving group,

with a piperidine of formula III



wherein R<sup>1</sup> and R<sup>2</sup> are as defined in claim 1, in an inert solvent in the presence of a base.

27. A pharmaceutical composition comprising a compound according to claim 1 and one or more pharmaceutically acceptable carriers and excipients.

28. A method of treating a neurokinin-mediated illness comprising administering to a host in need of such treatment a therapeutically effective amount of a compound according to claim 1.

29. A method according to claim 28, wherein the illness treated is selected from inflammatory and allergic conditions of the airways, eyes, skin, the gastro-intestinal tract, joints, bones and bladder; and central nervous system diseases.

30. A method according to claim 28, wherein the illness treated is selected from the following:

asthma, chronic bronchitis, hyperreactive airways, emphysema, rhinitis, COPD, pulmonary hypertension, cystic fibrosis, coughs; conjunctivitis, iritis;

dermatitis in contact eczema, neurodermatitis, pruritus, urticaria, psoriasis, sunburn, burns,

insect bites, rosacea, itching, sensitive or hypersensitive skin;

gastric and duodenal ulcers, ulcerative colitis, Crohn's disease, inflammatory bowel disease, irritable colon, Hirschsprung's disease, motility problems;

rheumatoid arthritis, reactive arthritis, arthrosis, osteoporosis and Reiter's syndrome;



irritable bladder, incontinence, urinary urgency, urethritis, colic and cystitis; restless leg syndrome;

dementia, Alzheimer's disease, schizophrenia, psychoses, anxiety states, alcohol or drug dependency, sexual dysfunctions, eating disorders, depression, headaches, epilepsy,

5 Parkinson's disease, stroke;

Herpes zoster, postherpetic pain, tumors, collagenoses, a dysfunction of the deferent urinary tracts, haemorrhoids, nausea and vomiting, and pain.

31. A method according to claim 29, wherein the illness is selected from: COPD,  
10 anxiety states and depression.

Sub  
a  
Contd

0991025 101601  
FOIOT 5208660